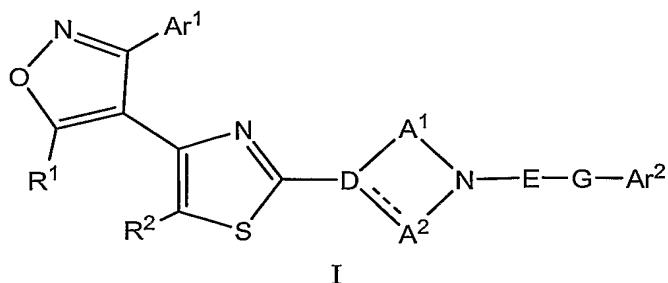


What is claimed is:

1. A compound of Formula I:



or a pharmaceutically acceptable salt, hydrate or solvate thereof, wherein:

Ar¹ is aryl, heteroaryl, biaryl, biheteroaryl, arylheteroaryl or heteroarylaryl, wherein Ar¹ is optionally substituted with one or more substituents selected from halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, carbocyclyl optionally substituted by one or more R¹³, heterocyclyl optionally substituted by one or more R¹³, carbocyclylalkyl optionally substituted by one or more R¹³, carbocyclylalkenyl optionally substituted by one or more R¹³, carbocyclylalkynyl optionally substituted by one or more R¹³, heterocyclylalkyl optionally substituted by one or more R¹³, heterocyclylalkenyl optionally substituted by one or more R¹³, heterocyclylalkynyl optionally substituted by one or more R¹³, hydroxylamino, OR⁵, SR⁵, SOR⁶, SO₂R⁶, COR⁶, COOR⁵, OC(O)R⁶ or NR⁷R⁸;

Ar² is aryl or heteroaryl, each optionally substituted with one or more substituents selected from halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, carbocyclyl optionally substituted by one or more R¹⁴, heterocyclyl optionally substituted by one or more R¹⁴, hydroxylamino, OR⁹, SR⁹, SOR¹⁰, SO₂R¹⁰, COR¹⁰, COOR⁹, OC(O)R¹⁰ or NR¹¹R¹²;

D is N, C or CR³;

— is a single bond when D is N or CR³;

— is a double bond when D is C;

A¹ is absent or a C₁₋₃ straight-chain aliphatic group optionally substituted with one or more substituents selected from halo, C₁₋₄ alkyl, C₁₋₄ haloalkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, amino, (C₁₋₆ alkyl)amino, di(C₁₋₆ alkyl)amino, hydroxy, carboxy, (C₁₋₄ alkoxy)carbonyl, or cyano;

A² is C₁₋₄ straight-chain aliphatic group optionally substituted with one or more substituents selected from halo, C₁₋₄ alkyl, C₁₋₄ haloalkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, amino, (C₁₋₆ alkyl)amino, di(C₁₋₆ alkyl)amino, hydroxy, carboxy, (C₁₋₄ alkoxy)carbonyl, or cyano;

E is CO, C(O)O, C(O)NR⁴, NR⁴CONR⁴, SO, SO₂, SONR⁴, SO₂NR⁴, or a bond;

G is C₁₋₃ alkylene, C₂₋₃ alkenylene or C₂₋₃ alkynylene optionally substituted with one or more substituents selected from halo, C₁₋₄ alkyl, C₁₋₄ haloalkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, amino, (C₁₋₄ alkyl)amino, di(C₁₋₄ alkyl)amino, hydroxy, carboxy, (C₁₋₄ alkoxy)carbonyl, or cyano;

R^1 is H, C_{1-6} alkyl, C_{2-6} alkenyl or C_{2-6} alkynyl, wherein R^1 is optionally substituted with one or more substituents selected from halo, OH, SH, nitro, cyano, C_{1-4} haloalkyl, C_{1-5} acyl, C_{1-5} acyloxy, C_{1-4} alkoxy, C_{1-4} thioalkoxy, C_{1-4} haloalkoxy, amino, (C_{1-4} alkyl)amino, di(C_{1-4} alkyl)amino, aminocarbonyl, (C_{1-4} alkyl)aminocarbonyl, di(C_{1-4} alkyl)aminocarbonyl, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, aminosulfonyl, (C_{1-4} alkyl)aminosulfonyl, di(C_{1-4} alkyl)aminosulfonyl, ureido, C_{1-4} alkylureido, di(C_{1-4} alkyl)ureido, thioureido, C_{1-4} alkylthioureido, di(C_{1-4} alkyl)thioureido, carboxy, (C_{1-6} alkoxy)carbonyl, and hydroxylamino;

R^2 is H, C_{1-6} alkyl, C_{2-6} alkenyl or C_{2-6} alkynyl, wherein R^2 is optionally substituted with one or more substituents selected from halo, OH, SH, nitro, cyano, C_{1-4} haloalkyl, C_{1-5} acyl, C_{1-5} acyloxy, C_{1-4} alkoxy, C_{1-4} thioalkoxy, C_{1-4} haloalkoxy, amino, (C_{1-4} alkyl)amino, di(C_{1-4} alkyl)amino, aminocarbonyl, (C_{1-4} alkyl)aminocarbonyl, di(C_{1-4} alkyl)aminocarbonyl, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, C_{1-4} haloalkylsulfinyl, C_{1-4} haloalkylsulfonyl, aminosulfonyl, (C_{1-4} alkyl)aminosulfonyl, di(C_{1-4} alkyl)aminosulfonyl, ureido, C_{1-4} alkylureido, di(C_{1-4} alkyl)ureido, thioureido, C_{1-4} alkylthioureido, di(C_{1-4} alkyl)thioureido, carboxy, (C_{1-6} alkoxy)carbonyl, and hydroxylamino;

or R^1 and R^2 together with the carbon atoms to which they are attached and the two carbon atoms through which the isoxazole and thiazole moieties of the core are joined form a fused C_{5-7} carbocyclyl group or fused 5-7 membered heterocyclyl group optionally substituted with one or more substituents selected from halo, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, amino, (C_{1-4} alkyl)amino, di(C_{1-4} alkyl)amino, hydroxy, carboxy, (C_{1-4} alkoxy)carbonyl, or cyano;

R^3 is H or C_{1-6} alkyl;

R^4 , at each independent occurrence, is H or C_{1-4} alkyl;

R^5 and R^9 are each, independently, H, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C_{3-7} cycloalkyl)alkyl or (5-7 membered heterocycloalkyl)alkyl;

R^6 and R^{10} are each, independently, H, C_{1-8} alkyl, C_{1-8} haloalkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C_{3-7} cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, amino, (C_{1-4} alkyl)amino, di(C_{1-4} alkyl)amino,

R^7 and R^8 are each, independently, H, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, aryl, heteroaryl, C_{3-7} cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C_{3-7} cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, (C_{1-8} alkyl)carbonyl, (C_{1-8} haloalkyl)carbonyl, (C_{1-8} alkoxy)carbonyl, (C_{1-8} haloalkoxy)carbonyl, (C_{1-4} alkyl)sulfonyl, (C_{1-4} haloalkyl)sulfonyl or arylsulfonyl;

or R⁷ and R⁸, together with the N atom to which they are attached form a 5-7 membered heterocycloalkyl group;

R¹¹ and R¹² are each, independently, H, C₁₋₈ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, aryl, heteroaryl, C₃₋₇ cycloalkyl, 5-7 membered heterocycloalkyl, arylalkyl, heteroarylalkyl, (C₃₋₇ cycloalkyl)alkyl, (5-7 membered heterocycloalkyl)alkyl, (C₁₋₈ alkyl)carbonyl, (C₁₋₈ haloalkyl)carbonyl, (C₁₋₈ alkoxy)carbonyl, (C₁₋₈ haloalkoxy)carbonyl, (C₁₋₄ alkyl)sulfonyl, (C₁₋₄ haloalkyl)sulfonyl or arylsulfonyl;

or R¹¹ and R¹², together with the N atom to which they are attached form a 5-7 membered heterocycloalkyl group; and

R¹³ and R¹⁴ are each, independently, halo, cyano, nitro, C₁₋₄ alkyl, C₁₋₄ haloalkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkoxy, amino, (C₁₋₄ alkyl)amino, di(C₁₋₄ alkyl)amino, hydroxy, carboxy, (C₁₋₄ alkoxy)carbonyl, C₁₋₄ acyl, C₁₋₄ acyloxy, aminocarbonyl, (C₁₋₄ alkyl)aminocarbonyl, or di(C₁₋₄ alkyl)aminocarbonyl.

2. The compound of claim 1 wherein Ar¹ is aryl, heteroaryl, biaryl, biheteroaryl, arylheteroaryl or heteroarylaryl, wherein Ar¹ is substituted with 1, 2 or 3 substituents selected from halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, carbocyclyl optionally substituted by one or more R¹³, heterocyclyl optionally substituted by one or more R¹³, carbocyclylalkyl optionally substituted by one or more R¹³, carbocyclylalkynyl optionally substituted by one or more R¹³, heterocyclylalkenyl optionally substituted by one or more R¹³, heterocyclylalkynyl optionally substituted by one or more R¹³, hydroxylamino, OR⁵, SR⁵, SOR⁶, SO₂R⁶, COR⁶, COOR⁵, OC(O)R⁶ or NR⁷R⁸.

3. The compound of claim 1 wherein Ar¹ is aryl, heteroaryl, biaryl, biheteroaryl, arylheteroaryl or heteroarylaryl, wherein Ar¹ is optionally substituted with one or more substituents selected from halo, cyano, nitro, heterocyclyl optionally substituted by one or more R¹³, heterocyclylalkyl optionally substituted by one or more R¹³, heterocyclylalkenyl optionally substituted by one or more R¹³, heterocyclylalkynyl optionally substituted by one or more R¹³, hydroxylamino, OR⁵, SR⁵, SOR⁶, SO₂R⁶, COR⁶, COOR⁵, OC(O)R⁶ or NR⁷R⁸.

4. The compound of claim 1 wherein Ar¹ is aryl, biaryl or heteroarylaryl, wherein Ar¹ is optionally substituted with one or more substituents selected from halo, cyano, nitro, C₁₋₆ alkyl, C₁₋₆ haloalkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, carbocyclyl optionally substituted by one or more R¹³, heterocyclyl optionally substituted by one or more R¹³, carbocyclylalkyl optionally substituted by one or more R¹³, carbocyclylalkenyl optionally substituted by one or more R¹³, carbocyclylalkynyl optionally substituted by one or more R¹³, heterocyclylalkyl optionally

substituted by one or more R^{13} , heterocyclalkenyl optionally substituted by one or more R^{13} , heterocyclalkynyl optionally substituted by one or more R^{13} , hydroxylamino, OR^5 , SR^5 , SOR^6 , SO_2R^6 , COR^6 , $COOR^5$, $OC(O)R^6$ or NR^7R^8 .

5. The compound of claim 1 wherein Ar^1 is phenyl, biphenyl or heteroarylphenyl, wherein Ar^1 is optionally substituted with one or more substituents selected from halo, cyano, nitro, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, carbocyclyl optionally substituted by one or more R^{13} , heterocyclyl optionally substituted by one or more R^{13} , carbocyclalkyl optionally substituted by one or more R^{13} , carbocyclalkenyl optionally substituted by one or more R^{13} , carbocyclalkynyl optionally substituted by one or more R^{13} , heterocyclalkyl optionally substituted by one or more R^{13} , heterocyclalkenyl optionally substituted by one or more R^{13} , heterocyclalkynyl optionally substituted by one or more R^{13} , hydroxylamino, OR^5 , SR^5 , SOR^6 , SO_2R^6 , COR^6 , $COOR^5$, $OC(O)R^6$ or NR^7R^8 .

6. The compound of claim 1 wherein Ar^1 is phenyl, biphenyl or heteroarylphenyl, wherein Ar^1 is optionally substituted with 1, 2 or 3 substituents selected from halo, cyano, nitro, heterocyclyl optionally substituted by one or more R^{13} , heterocyclalkyl optionally substituted by one or more R^{13} , heterocyclalkenyl optionally substituted by one or more R^{13} , heterocyclalkynyl optionally substituted by one or more R^{13} , hydroxylamino, OR^5 , SR^5 , SOR^6 , SO_2R^6 , COR^6 , $COOR^5$, $OC(O)R^6$ or NR^7R^8 .

7. The compound of claim 1 wherein Ar^1 is phenyl, biphenyl or heteroarylphenyl, wherein Ar^1 is substituted with 1, 2 or 3 substituents selected from halo, cyano, nitro, heterocyclyl optionally substituted by one or more R^{13} , heterocyclalkynyl optionally substituted by one or more R^{13} , C_{1-4} alkoxy, SO_2R^6 , COR^6 , $COOR^5$ or NR^7R^8 .

8. The compound of claim 1 wherein Ar^2 is aryl or heteroaryl, each optionally substituted with 1, 2 or 3 substituents selected from halo, cyano, nitro, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, carbocyclyl optionally substituted by one or more R^{14} , heterocyclyl optionally substituted by one or more R^{14} , hydroxylamino, OR^9 , SR^9 , SOR^{10} , SO_2R^{10} , COR^{10} , $COOR^9$, $OC(O)R^{10}$ or $NR^{11}R^{12}$.

9. The compound of claim 1 wherein Ar^2 is aryl or heteroaryl.

10. The compound of claim 1 wherein Ar^2 is heteroaryl.

11. The compound of claim 1 wherein Ar^2 is thienyl.

12. The compound of claim 1 wherein Ar² is aryl.
13. The compound of claim 1 wherein Ar² is phenyl.
14. The compound of claim 1 wherein D is CR³.
15. The compound of claim 1 wherein D is CH.
16. The compound of claim 1 wherein A¹ is a C₁₋₃ alkylene group.
17. The compound of claim 1 wherein A¹ is CH₂ or CH₂CH₂.
18. The compound of claim 1 wherein A¹ is absent.
19. The compound of claim 1 wherein D is CR³ and A² is a C₁₋₃ alkylene group.
20. The compound of claim 1 wherein D is CR³ and A² is CH₂CH₂ or CH₂CH₂CH₂.
21. The compound of claim 1 wherein D is CR³, A¹ is CH₂CH₂, and A² is CH₂CH₂.
22. The compound of claim 1 wherein D is CR³, A¹ is absent, and A² is CH₂CH₂CH₂.
23. The compound of claim 1 wherein E is CO, C(O)O, C(O)NR⁴, SO₂ or a bond.
24. The compound of claim 1 wherein E is CO or SO₂.
25. The compound of claim 1 wherein E is CO.
26. The compound of claim 1 wherein G is C₁₋₃ alkylene.
27. The compound of claim 1 wherein G is CH₂ or CH₂CH₂.
28. The compound of claim 1 wherein G is CH₂.
29. The compound of claim 1 wherein R¹ is H or C₁₋₄ alkyl.

30. The compound of claim 1 wherein R¹ is methyl.

31. The compound of claim 1 wherein:

R¹ is H, C₁₋₆ alkyl, C₂₋₆ alkenyl or C₂₋₆ alkynyl, wherein R¹ is optionally substituted with one or more substituents selected from halo, OH, SH, nitro, cyano, C₁₋₄ haloalkyl, C₁₋₅ acyl, C₁₋₅ acyloxy, C₁₋₄ alkoxy, C₁₋₄ thioalkoxy, C₁₋₄ haloalkoxy, amino, (C₁₋₄ alkyl)amino, di(C₁₋₄ alkyl)amino, aminocarbonyl, (C₁₋₄ alkyl)aminocarbonyl, di(C₁₋₄ alkyl)aminocarbonyl, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, aminosulfonyl, (C₁₋₄ alkyl)aminosulfonyl, di(C₁₋₄ alkyl)aminosulfonyl, ureido, C₁₋₄ alkylureido, di(C₁₋₄ alkyl)ureido, thioureido, C₁₋₄ alkylthioureido, di(C₁₋₄ alkyl)thioureido, carboxy, (C₁₋₆ alkoxy)carbonyl, and hydroxylamino; and

R² is H, C₁₋₆ alkyl, C₂₋₆ alkenyl or C₂₋₆ alkynyl, wherein R² is optionally substituted with one or more substituents selected from halo, OH, SH, nitro, cyano, C₁₋₄ haloalkyl, C₁₋₅ acyl, C₁₋₅ acyloxy, C₁₋₄ alkoxy, C₁₋₄ thioalkoxy, C₁₋₄ haloalkoxy, amino, (C₁₋₄ alkyl)amino, di(C₁₋₄ alkyl)amino, aminocarbonyl, (C₁₋₄ alkyl)aminocarbonyl, di(C₁₋₄ alkyl)aminocarbonyl, C₁₋₄ alkylsulfinyl, C₁₋₄ alkylsulfonyl, C₁₋₄ haloalkylsulfinyl, C₁₋₄ haloalkylsulfonyl, aminosulfonyl, (C₁₋₄ alkyl)aminosulfonyl, di(C₁₋₄ alkyl)aminosulfonyl, ureido, C₁₋₄ alkylureido, di(C₁₋₄ alkyl)ureido, thioureido, C₁₋₄ alkylthioureido, di(C₁₋₄ alkyl)thioureido, carboxy, (C₁₋₆ alkoxy)carbonyl, and hydroxylamino.

32. The compound of claim 1 wherein R² is H or C₁₋₄ alkyl.

33. The compound of claim 1 wherein R² is H.

34. The compound of claim 1 wherein R³ is H.

35. The compound of claim 1 wherein R⁴, at each independent occurrence, is H.

36. The compound of claim 1 wherein:

D is CR³;

A¹ is absent or a C₁₋₃ alkylene group;

A² is a C₁₋₃ alkylene group;

E is CO, C(O)O, C(O)NR⁴, SO₂ or a bond;

G is C₁₋₃ alkylene;

R¹ is H or C₁₋₆ alkyl; and

R² is H or C₁₋₆ alkyl.

37. The compound of claim 1 wherein:

Ar^2 is aryl or heteroaryl, each optionally substituted with 1, 2 or 3 substituents selected from halo, cyano, nitro, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ haloalkyl, $\text{C}_2\text{-C}_6$ alkenyl, $\text{C}_2\text{-C}_6$ alkynyl, carbocyclyl optionally substituted by one or more R^{14} , heterocyclyl optionally substituted by one or more R^{14} , hydroxylamino, OR^9 , SR^9 , SOR^{10} , SO_2R^{10} , COR^{10} , COOR^9 , OC(O)R^{10} or $\text{NR}^{11}\text{R}^{12}$;

D is CR^3 ;

A^1 is absent or a C_{1-3} alkylene group;

A^2 is a C_{1-3} alkylene group;

E is CO , C(O)O , C(O)NR^4 , SO_2 or a bond;

G is C_{1-3} alkylene;

R^1 is H or C_{1-6} alkyl; and

R^2 is H or C_{1-6} alkyl.

38. The compound of claim 1 wherein:

Ar^1 is phenyl, biphenyl or heteroarylphenyl, wherein Ar^1 is optionally substituted with one or more substituents selected from halo, cyano, nitro, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ haloalkyl, $\text{C}_2\text{-C}_6$ alkenyl, $\text{C}_2\text{-C}_6$ alkynyl, carbocyclyl optionally substituted by one or more R^{13} , heterocyclyl optionally substituted by one or more R^{13} , carbocyclylalkyl optionally substituted by one or more R^{13} , carbocyclylalkenyl optionally substituted by one or more R^{13} , carbocyclylalkynyl optionally substituted by one or more R^{13} , heterocyclylalkyl optionally substituted by one or more R^{13} , heterocyclylalkenyl optionally substituted by one or more R^{13} , heterocyclylalkynyl optionally substituted by one or more R^{13} , hydroxylamino, OR^5 , SR^5 , SOR^6 , SO_2R^6 , COR^6 , COOR^5 , OC(O)R^6 or NR^7R^8 ;

Ar^2 is aryl or heteroaryl;

D is CR^3 ;

A^1 is absent or a C_{1-3} alkylene group;

A^2 is a C_{1-3} alkylene group;

E is CO , C(O)O , C(O)NR^4 , SO_2 or a bond;

G is C_{1-3} alkylene;

R^1 is H or C_{1-6} alkyl; and

R^2 is H or C_{1-6} alkyl.

39. The compound of claim 1 wherein:

Ar^1 is phenyl, biphenyl or heteroarylphenyl, wherein Ar^1 is optionally substituted with 1, 2 or 3 substituents selected from halo, cyano, nitro, heterocyclyl optionally substituted by one or more R^{13} , heterocyclylalkyl optionally substituted by one or more R^{13} , heterocyclylalkenyl

optionally substituted by one or more R^{13} , heterocyclalkynyl optionally substituted by one or more R^{13} , hydroxylamino, OR^5 , SR^5 , SOR^6 , SO_2R^6 , COR^6 , $COOR^5$, $OC(O)R^6$ or NR^7R^8 ;

Ar^2 is aryl or heteroaryl;

D is CR^3 ;

A^1 is absent or a C_{1-3} alkylene group;

A^2 is a C_{1-3} alkylene group;

E is CO , $C(O)O$, $C(O)NR^4$, SO_2 or a bond;

G is C_{1-3} alkylene;

R^1 is H or C_{1-6} alkyl; and

R^2 is H or C_{1-6} alkyl.

40. The compound of claim 1 wherein:

Ar^1 is phenyl, biphenyl or heteroarylphenyl, wherein Ar^1 is optionally substituted with 1, 2 or 3 substituents selected from halo, cyano, nitro, heterocyclyl optionally substituted by one or more R^{13} , heterocyclalkyl optionally substituted by one or more R^{13} , heterocyclalkenyl optionally substituted by one or more R^{13} , heterocyclalkynyl optionally substituted by one or more R^{13} , hydroxylamino, OR^5 , SR^5 , SOR^6 , SO_2R^6 , COR^6 , $COOR^5$, $OC(O)R^6$ or NR^7R^8 ;

Ar^2 is aryl or heteroaryl;

D is CH ;

A^1 is absent, CH_2 or CH_2CH_2 ;

A^2 is CH_2CH_2 or $CH_2CH_2CH_2$;

E is CO , SO_2 or a bond;

G is CH_2 or CH_2CH_2 ;

R^1 is C_{1-4} alkyl; and

R^2 is H .

41. The compound of claim 1 wherein:

Ar^1 is phenyl, biphenyl or heteroarylphenyl, wherein Ar^1 is substituted with 1, 2 or 3 substituents selected from halo, cyano, nitro, heterocyclyl optionally substituted by one or more R^{13} , heterocyclalkynyl optionally substituted by one or more R^{13} , C_{1-4} alkoxy, SO_2R^6 , COR^6 , $COOR^5$ or NR^7R^8 ;

Ar^2 is aryl or heteroaryl;

D is CH ;

A^1 is absent, CH_2 or CH_2CH_2 ;

A^2 is CH_2CH_2 or $CH_2CH_2CH_2$;

E is CO , SO_2 or a bond;

G is CH_2 or CH_2CH_2 ;

R¹ is C₁₋₄ alkyl; and

R² is H.

42. The compound of claim 1 selected from:

4-{4-[3-(3-Bromo-phenyl)-5-methyl-isoxazol-4-yl]-thiazol-2-yl}-1-phenylmethane-sulfonyl-piperidine;

1-(4-{4-[3-(3-Bromo-phenyl)-5-methyl-isoxazol-4-yl]-thiazol-2-yl}-piperidin-1-yl)-2-thiophen-2-yl-ethanone;

1-(4-{4-[3-(3-Bromo-phenyl)-5-methyl-isoxazol-4-yl]-thiazol-2-yl}-piperidin-1-yl)-2-thiophen-3-yl-ethanone;

1-(2-{4-[3-(3-Bromo-phenyl)-5-methyl-isoxazol-4-yl]-thiazol-2-yl}-pyrrolidin-1-yl)-2-thiophen-2-yl-ethanone;

1-(4-{4-[3-(3-Isopropylamino-phenyl)-5-methyl-isoxazol-4-yl]-thiazol-2-yl}-piperidin-1-yl)-2-thiophen-2-yl-ethanone;

1-[4-(4-{5-Methyl-3-[3-(2-morpholin-4-yl-ethylamino)-phenyl]-isoxazol-4-yl}-thiazol-2-yl)-piperidin-1-yl]-2-thiophen-2-yl-ethanone;

1-(4-{4-[5-Methyl-3-(3-morpholin-4-yl-phenyl)-isoxazol-4-yl]-thiazol-2-yl}-piperidin-1-yl)-2-thiophen-2-yl-ethanone;

1-[4-(4-{5-Methyl-3-[3-(4-methyl-piperazin-1-yl)-phenyl]-isoxazol-4-yl}-thiazol-2-yl)-piperidin-1-yl]-2-thiophen-2-yl-ethanone;

N-[3'-(5-Methyl-4-{2-[1-(2-thiophen-2-yl-acetyl)-piperidin-4-yl]-thiazol-4-yl}-isoxazol-3-yl)-biphenyl-4-yl]-acetamide;

N-[3'-(5-Methyl-4-{2-[1-(2-thiophen-2-yl-acetyl)-piperidin-4-yl]-thiazol-4-yl}-isoxazol-3-yl)-biphenyl-3-yl]-acetamide;

3'-(5-Methyl-4-{2-[1-(2-thiophen-2-yl-acetyl)-piperidin-4-yl]-thiazol-4-yl}-isoxazol-3-yl)-biphenyl-4-carboxylic acid amide;

3'-(5-Methyl-4-{2-[1-(2-thiophen-2-yl-acetyl)-piperidin-4-yl]-thiazol-4-yl}-isoxazol-3-yl)-biphenyl-3-carboxylic acid amide;

3'-(5-Methyl-4-[2-(1-phenylmethanesulfonyl-piperidin-4-yl)-thiazol-4-yl]-isoxazol-3-yl)-biphenyl-4-carboxylic acid;

3'-(5-Methyl-4-{2-[1-(2-thiophen-2-yl-acetyl)-piperidin-4-yl]-thiazol-4-yl}-isoxazol-3-yl)-biphenyl-4-carboxylic acid;

3'-(5-Methyl-4-{2-[1-(2-thiophen-2-yl-acetyl)-pyrrolidin-2-yl]-thiazol-4-yl}-isoxazol-3-yl)-biphenyl-4-carboxylic acid;

3'-(5-Methyl-4-{2-[1-(2-thiophen-2-yl-acetyl)-piperidin-4-yl]-thiazol-4-yl}-isoxazol-3-yl)-biphenyl-3-carboxylic acid;

1-(4-{4-[5-Methyl-3-(3-pyridin-4-yl-phenyl)-isoxazol-4-yl]-thiazol-2-yl}-piperidin-1-yl)-2-thiophen-2-yl-ethanone;

1-(4-{4-[5-Methyl-3-(3-pyrimidin-5-yl-phenyl)-isoxazol-4-yl]-thiazol-2-yl}-piperidin-1-yl)-2-thiophen-2-yl-ethanone;

1-(4-{4-[3-(4'-Methoxy-biphenyl-3-yl)-5-methyl-isoxazol-4-yl]-thiazol-2-yl}-piperidin-1-yl)-2-thiophen-2-yl-ethanone;

3'-(5-Methyl-4-{2-[1-(2-thiophen-2-yl-acetyl)-piperidin-4-yl]-thiazol-4-yl}-isoxazol-3-yl)-biphenyl-4-carboxylic acid dimethylamide;

3'-(5-Methyl-4-{2-[1-(2-thiophen-2-yl-acetyl)-piperidin-4-yl]-thiazol-4-yl}-isoxazol-3-yl)-biphenyl-4-carboxylic acid methylamide;

1-[4-(4-{5-Methyl-3-[4'-(morpholine-4-carbonyl)-biphenyl-3-yl]-isoxazol-4-yl}-thiazol-2-yl)-piperidin-1-yl]-2-thiophen-2-yl-ethanone;

1-[4-(4-{5-Methyl-3-[3'-(morpholine-4-carbonyl)-biphenyl-3-yl]-isoxazol-4-yl}-thiazol-2-yl)-piperidin-1-yl]-2-thiophen-2-yl-ethanone;

1-(4-{4-[3-(4'-Amino-biphenyl-3-yl)-5-methyl-isoxazol-4-yl]-thiazol-2-yl}-piperidin-1-yl)-2-thiophen-2-yl-ethanone;

N-[3'-(5-Methyl-4-{2-[1-(2-thiophen-2-yl-acetyl)-piperidin-4-yl]-thiazol-4-yl}-isoxazol-3-yl)-biphenyl-4-yl]-methanesulfonamide;

1-(4-{4-[3-(4'-Methanesulfonyl-biphenyl-3-yl)-5-methyl-isoxazol-4-yl]-thiazol-2-yl}-piperidin-1-yl)-2-thiophen-2-yl-ethanone;

2,2,2-Trifluoro-N-[3'-(5-methyl-4-{2-[1-(2-thiophen-2-yl-acetyl)-piperidin-4-yl]-thiazol-4-yl}-isoxazol-3-yl)-biphenyl-3-yl]-acetamide;

1-(4-{4-[3-(3'-Methanesulfonyl-biphenyl-3-yl)-5-methyl-isoxazol-4-yl]-thiazol-2-yl}-piperidin-1-yl)-2-thiophen-2-yl-ethanone;

3'-(5-Methyl-4-{2-[1-(2-thiophen-2-yl-acetyl)-piperidin-4-yl]-thiazol-4-yl}-isoxazol-3-yl)-biphenyl-4-carbonitrile;

1-{4-[4-(3-[3-(1,1-Dioxo-1 λ ⁶-thiomorpholin-4-yl)-prop-1-ynyl]-phenyl}-5-methyl-isoxazol-4-yl)-thiazol-2-yl]-piperidin-1-yl}-2-thiophen-2-yl-ethanone;

1-[4-(4-{5-Methyl-3-[4'-(1H-tetrazol-5-yl)-biphenyl-3-yl]-isoxazol-4-yl}-thiazol-2-yl)-piperidin-1-yl]-2-thiophen-2-yl-ethanone; and

1-[4-(4-{3-[4'-(4,5-Dihydro-1H-imidazol-2-yl)-biphenyl-3-yl]-5-methyl-isoxazol-4-yl}-thiazol-2-yl)-piperidin-1-yl]-2-thiophen-2-yl-ethanone;

or pharmaceutically acceptable salt thereof.

43. A composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.

44. A method of modulating the follicle stimulating hormone (FSH) receptor comprising contacting said receptor with a compound of claim 1.

45. A method of activating the follicle stimulating hormone (FSH) receptor comprising contacting said receptor with a compound of claim 1.

46. A method of increasing the adenylyl cyclase activity or the level of 5'-monophosphate (cAMP) in a cell, cell culture or tissue expressing the follicle stimulating hormone receptor comprising contacting said cell, cell culture or tissue with a compound of claim 1.

47. A method of inducing ovulation in a female mammal comprising administering to said female mammal an ovulation-inducing amount of a compound of claim 1.

48. A method of treating a fertility disorder in a patient comprising administering to said patient a therapeutically effective amount of a compound of claim 1

49. A method of treating infertility in a female patient comprising administering to said female patient a therapeutically effective amount of a compound of claim 1.

50. A compound according to any one of claims 1 to 42 for use in therapy.

51. A compound according to any one of claims 1 to 42 for use in the treatment of a fertility disorder in a patient.

52. A compound according to any one of claims 1 to 42 for use in the treatment of infertility in a female patient.

53. A compound according to any one of claims 1 to 42 for use in the preparation of a medicament for use in therapy.

54. A compound according to any one of claims 1 to 42 for use in the preparation of a medicament for use in the treatment of a fertility disorder in a patient.

55. A compound according to any one of claims 1 to 42 for use in the preparation of a medicament for use in the treatment of infertility in a female patient.

56. Use of a compound according to any one of claims 1 to 42 for the manufacture of a medicament.

57. Use of a compound according to any one of claims 1 to 42 for the manufacture of a medicament for the treatment of a fertility disorder in a patient.

58. Use of a compound according to any one of claims 1 to 42 for the manufacture of a medicament for the treatment of infertility in a female patient.